

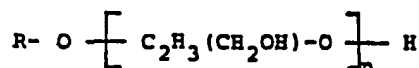
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(54) Composition for local
corticotherapy containing
hydrocortisone

(57) Stable compositions for local
corticotherapy contain, in the
solubilised state, hydrocortisone in at
least one solubilising agent which is:
(i) caprolactam as an at least 30% by
weight solution in an aliphatic alcohol
having 2 to 12 carbon atoms, (ii) 2-
isostearyl-1-hydroxyethyl-1-

benzylimidazolinium chloride as an at
least 25% by weight solution in water
or (iii) an alkylphenol polyglycerol
corresponding to the following
formula:



in which R represents an octylphenyl
or nonylphenyl radical and n is an
integer from 4 to 10, preferably 6.

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solution in 5% brine of 63°C) and nonylphenyl polyglycerol ether containing 6 glycerol units (n=6) (viscous liquid, soluble in water and having a turbidity point as a 0.5% solution in water of 64°C).

These alkylphenol polyglycerols permit solubilisation of up to 2% by weight of hydrocortisone and ensure excellent storage life, the degree of degradation after two months at room temperature being less than 5%, measured by HPLC.

The concentration of hydrocortisone in the compositions according to the invention for local corticotherapy is generally not greater than 12%, depending on the solubilising agent used, and is preferably from 0.01 to 5% and especially from 0.5 to 4%, by weight, based on the total weight of the composition.

According to the invention, the solubilising agent generally represents 8 to 98% by weight of the total weight of the composition.

The compositions according to the invention can be in various forms, in particular in the form of lotions, shampoos, pomades or gels, and are suitable for treatment of all diseases relevant to local corticotherapy.

The lotions essentially comprise the solubilising agent, and if desired, conventional additives for this type of preparation.

The gels can be obtained with the aid of gelling agents, such as silica, cellulose derivatives, carboxyvinyl polymers (Carbopols), and natural or synthetic gum, used at a concentration of, say, 0.5 to 15% based on the total weight of the composition.

Pomades are anhydrous compositions based, for example, on petroleum jelly, liquid paraffin and/or waxes.

The compositions according to the invention are especially indicated in the treatment of eczemas, eczematous or psoriatic erythrodermas, pruriginous lesions, chronic lupus erythematosus, psoriatic and parapsoriatic plaque, hyperthrophic cicatrices and solar or radiotherapy erythemas.

These treatments require, on average, application twice daily, if necessary with massaging, in order to facilitate penetration.

The following Examples further illustrate the present invention.

50 Example A

Gel for local corticotherapy

Caprolactam, 50% strength solution in ethanol	95 g
Hydrocortisone	3 g
Hydroxypropylcellulose acetate	2 g

Example B

Lotion for local corticotherapy

2-Isostearyl-1-hydroxyethyl-1-benzylimidazolium chloride	45 g (active ingredient)
Hydrocortisone	3 g
Water q.s.p.	100 g

Example C

Pomade for local corticotherapy

Hydrocortisone	2.5 g
Caprolactam, 50% strength solution in ethanol	50.5 g
Polyoxyethylated C ₁₂ -C ₁₈ fatty acid glycerides	30 g
Miglyol	17 g

Example D

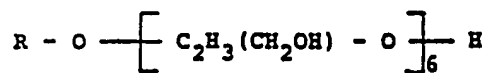
Lotion for local corticotherapy

Caprolactam, 50% strength solution in ethanol	92 g
Hydrocortisone	3.5 g
Glycerol	4.5 g

Example E

Shampoo for corticotherapy of the scalp

80 Alkylphenol polyglycerol of the formula:



R=nonylphenyl	50 g
Propylene glycol	20 g
Absolute ethanol	20 g
Hydrocortisone	1.5 g
Water q.s.p.	100 g

In this example, the alkylphenol polyglycerol can be replaced by the same compound in which R= octylphenyl.

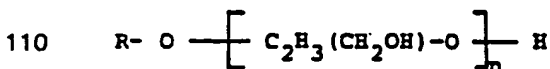
90 Compositions A to E above are stable on storage.

Good penetration is observed, as well as good tolerance.

95 In comparison with the conventional products based on hydrocortisone, it has been possible substantially to reduce the treatment times, thus avoiding prolonged use and the risks of cutaneous atrophy.

Claims

- 100 1. A composition suitable for local corticotherapy, which comprises hydrocortisone in at least one solubilising agent which is: (i) an aliphatic alcohol having 2 to 12 carbon atoms, containing, in solution, at least 30% by weight of caprolactam, (ii) an at least 25% by weight aqueous solution of 2-isostearyl-1-hydroxyethyl-1-benzylimidazolium chloride or (iii) an alkylphenol polyglycerol corresponding to the following formula:



in which R represents an octylphenyl or nonylphenyl radical and n is an integer from 4 to 10.

- 115 2. A composition according to claim 1, which contains not more than 12% by weight of hydrocortisone, based on the total weight of the composition.

3. A composition according to claim 2, which contains 0.01 to 5% by weight of hydrocortisone.
4. A composition according to claim 3, which contains 0.5 to 4% by weight of hydrocortisone.
- 5 5. A composition according to any one of the preceding claims, in which the solubilising agent represents 8 to 98% by weight of the total weight of the composition.
- 10 6. A composition according to any one of claims 1 to 5, in which the caprolactam is in solution in ethanol, isopropanol, butanol, heptanol or dodecanol.
7. A composition according to any one of claims 1 to 6 in which the solution of caprolactam contains 40 to 70% by weight of caprolactam.
- 15 8. A composition according to any one of claims 1 to 5 in which the aqueous solution of 2-isostearyl-1-hydroxyethyl-1-benzylimidazolium chloride contains 25 to 90% by weight of the chloride.
- 20 9. A composition according to any one of claims 1 to 5 in which n is 6.
10. A composition according to claim 9, in which the alkylphenol polyglycerol is an octyl-phenyl polyglycerol ether containing 6 glycerol units or a nonylphenyl polyglycerol ether containing 6 glycerol units.
- 25 11. A composition according to any one of the preceding claims, which is in the form of a lotion, a shampoo, a pomade or a gel.
- 30 12. A composition according to claim 1 substantially as described in any one of the Examples.